

 **PALM INTRANET**Day : Monday
Date: 2/13/2006
Time: 13:11:49

Inventor Information for 10/807023

| Inventor Name | City | State/Country |
|----------------|----------------|---------------|
| CHEN, SHIRLYNN | SOMERS | NEW YORK |
| MEI, XIAOHUI | HIGHLAND MILLS | NEW YORK |
| WANG, ZEREN | SOUTHBURY | CONNECTICUT |

[Appln Info](#)[Contents](#)[Petition Info](#)[Atty/Agent Info](#)[Continuity Data](#)[Foreign Data](#)[Inventor](#)Search Another: Application# or Patent# PCT / / or PG PUBS # Attorney Docket # Bar Code #

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(FILE 'HOME' ENTERED AT 16:27:31 ON 13 FEB 2006)

FILE 'REGISTRY' ENTERED AT 16:27:59 ON 13 FEB 2006

L1 STRUCTURE UPLOADED
L2 18 SEA SSS SAM L1
L3 351 SEA SSS FUL L1

FILE 'HCAPLUS, USPATFULL, USPAT2' ENTERED AT 16:28:44 ON 13 FEB 2006

L4 65 SEA PLU=ON L3
L5 59 DUP REM L4 (6 DUPLICATES REMOVED)
ANSWERS '1-42' FROM FILE HCAPLUS
ANSWERS '43-59' FROM FILE USPATFULL
L6 50 SEA PLU=ON L5 AND (PD<20040323 OR PRD<20040323)
L7 21 SEA PLU=ON L5 AND (PD<20030323 OR PRD<20030323)

FILE 'REGISTRY' ENTERED AT 16:30:47 ON 13 FEB 2006

L8 1 SEA PLU=ON 77-86-1/RN
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SET DETAIL OFF
SET LINE LOGIN
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FILE 'HCAPLUS, USPATFULL, USPAT2' ENTERED AT 16:31:33 ON 13 FEB 2006

FILE 'REGISTRY' ENTERED AT 16:31:41 ON 13 FEB 2006

L9 SEL PLU=ON L8 1- CHEM : 50 TERMS

FILE 'HCAPLUS, USPATFULL, USPAT2' ENTERED AT 16:31:41 ON 13 FEB 2006

L10 300397 SEA PLU=ON L9
L11 300534 SEA PLU=ON L8 OR L10
L12 10 SEA PLU=ON L7 AND L11
D L7 1-21 IBIB ABS
L13 3364 SEA PLU=ON ((SODIUM OR POTASSIUM OR ALUMINUM OR MAGNESIUM)
(W) HYDROXIDE) AND (PROPYLENE (W) GLYCOL) AND (CAPRYL OR
CAPRIC)
L14 1013 SEA PLU=ON L13 AND L11
L15 687 SEA PLU=ON L14 AND (ETHANOL (P) WATER)
L16 222 SEA PLU=ON L15 AND (TOCOPHERYL OR (VITAMIN (W) E))
L*** DEL 222 L15 (W) (TOCOPHERYL OR (VITAMIN (W) E))
L17 0 SEA PLU=ON ((SODIUM OR POTASSIUM OR ALUMINUM OR MAGNESIUM)
(W) HYDROXIDE) (W) (PROPYLENE (W) GLYCOL) (W) (CAPRYL OR
CAPRIC)
L18 0 SEA PLU=ON L16 AND L12
L19 0 SEA PLU=ON L16 AND L7
L20 13 SEA PLU=ON L7 AND PHARMACEUTICAL

FILE HOME

FILE REGISTRY

Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES: 12 FEB 2006 HIGHEST RN 874108-28-8

DICTIONARY FILE UPDATES: 12 FEB 2006 HIGHEST RN 874108-28-8

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2005

Please note that search-term pricing does apply when conducting SmartSELECT searches.

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*
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added, *
* effective March 20, 2005. A new display format, IDERL, is now *
* available and contains the CA role and document type information. *
*
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Structure search iteration limits have been increased. See HELP SLIMITS for details.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/ONLINE/UG/regprops.html>

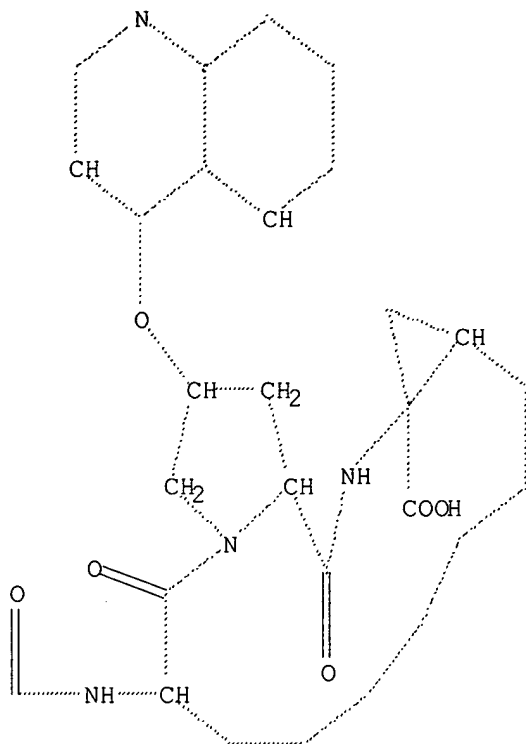
FILE HCAPLUS
FILE COVERS 1907 - 13 Feb 2006 VOL 144 ISS 8
FILE LAST UPDATED: 12 Feb 2006 (20060212/ED)

FILE USPATFULL
FILE COVERS 1971 TO PATENT PUBLICATION DATE: 9 Feb 2006 (20060209/PD)
FILE LAST UPDATED: 9 Feb 2006 (20060209/ED)
CA INDEXING IS CURRENT THROUGH 9 Feb 2006 (20060209/UPCA)
ISSUE CLASS FIELDS (/INCL) CURRENT THROUGH: 9 Feb 2006 (20060209/PD)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Dec 2005

FILE USPAT2
FILE COVERS 2001 TO PUBLICATION DATE: 9 Feb 2006 (20060209/PD)
FILE LAST UPDATED: 9 Feb 2006 (20060209/ED)
CA INDEXING IS CURRENT THROUGH 9 Feb 2006 (20060209/UPCA)
ISSUE CLASS FIELDS (/INCL) CURRENT THROUGH: 9 Feb 2006 (20060209/PD)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Dec 2005

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L1 STR



Structure attributes must be viewed using STN Express query preparation.

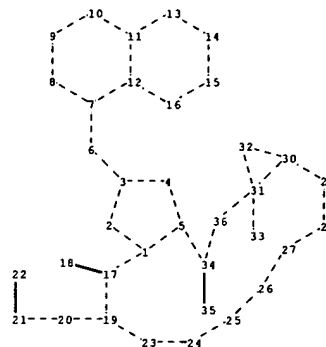
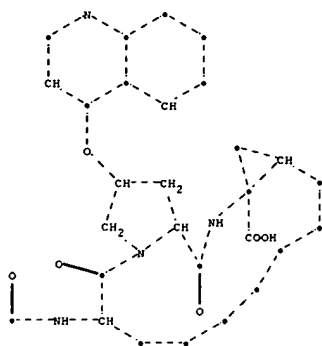
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L4 65 SEA L3

L5 59 DUP REM L4 (6 DUPLICATES REMOVED)

L7 21 SEA L5 AND (PD<20030323 OR PRD<20030323)

L20 13 SEA L7 AND PHARMACEUTICAL



chain nodes :

6 18 20 21 22 33 35

ring nodes :

1 2 3 4 5 7 8 9 10 11 12 13 14 15 16 17 19 23 24 25 26
27 28 29 30 31 32 34 36

chain bonds :

3-6 6-7 17-18 19-20 20-21 21-22 31-33 34-35

ring bonds :

1-2 1-5 1-17 2-3 3-4 4-5 5-34 7-8 7-12 8-9 9-10 10-11 11-12
11-13 12-16 13-14 14-15 15-16 17-19 19-23 23-24 24-25 25-26 26-27
27-28 28-29 29-30 30-31 30-32 31-32 31-36 34-36

exact/norm bonds :

1-2 1-5 1-17 2-3 3-4 3-6 4-5 5-34 6-7 7-8 7-12 8-9 9-10 10-11
11-12 11-13 12-16 13-14 14-15 15-16 17-18 17-19 19-20 19-23 20-21
21-22 23-24 24-25 25-26 26-27 27-28 28-29 29-30 30-31 30-32 31-32
31-33 31-36 34-35 34-36

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:CLASS 7:Atom 8:Atom 9:Atom
10:Atom 11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom
18:CLASS 19:Atom 20:CLASS 21:CLASS 22:CLASS 23:Atom 24:Atom 25:Atom
26:Atom 27:Atom 28:Atom 29:Atom 30:Atom 31:Atom 32:Atom 33:CLASS
34:Atom 35:CLASS 36:Atom

> d 17 1-21 ibib abs

L7 ANSWER 1 OF 21 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:392478 HCAPLUS

DOCUMENT NUMBER: 140:400031

TITLE: Macrocyclic compound-containing compositions for the treatment of infection by Flaviviridae viruses

INVENTOR(S): Lamarre, Daniel; Lagace, Lisette

PATENT ASSIGNEE(S): Boehringer Ingelheim International GmbH, Germany

SOURCE: PCT Int. Appl., 57 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

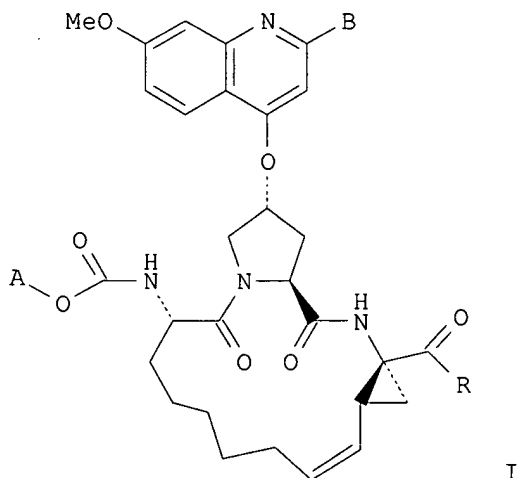
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

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| WO 2004039833 | A1 | 20040513 | WO 2003-CA1634 | 20031024 <-- |
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| RW: | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | |
| US 2005159345 | A1 | 20050721 | US 2003-687204 | 20031016 <-- |
| CA 2498642 | AA | 20040513 | CA 2003-2498642 | 20031024 <-- |
| EP 1558633 | A1 | 20050803 | EP 2003-809665 | 20031024 <-- |
| R: | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK | | | |
| BR 2003015781 | A | 20050913 | BR 2003-15781 | 20031024 <-- |
| NO 2005002580 | A | 20050720 | NO 2005-2580 | 20050527 <-- |
| PRIORITY APPLN. INFO.: | | | US 2002-421900P | P 20021029 <-- |
| | | | US 2003-442769P | P 20030127 <-- |
| | | | WO 2003-CA1634 | W 20031024 |

OTHER SOURCE(S): MARPAT 140:400031

GI



AB The invention relates to macrocyclic compds. I [A is alkyl or cycloalkyl; B is Ph or thiazolyl, which may be substituted by alkylamino or alkanoylamino; R is OH or NHSO₂R₂, where R₂ is (un)substituted alkyl, cycloalkyl or aryl] or their pharmaceutically-acceptable salts for the treatment of a mammal infected with a virus of the Flaviviridae family. Thus, IC₅₀ values for compound I [A is cyclopentyl, B is 2-(isopropylamino)-4-thiazolyl, R is OH] against HCV NS3-NS4A protease are shown graphically.

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 2 OF 21 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:370958 HCAPLUS

DOCUMENT NUMBER: 140:357673

TITLE: Preparation of macrocyclic peptides active against the hepatitis C virus

INVENTOR(S): Llinas-Brunet, Montse; Bailey, Murray D.

PATENT ASSIGNEE(S): Boehringer Ingelheim International G.m.b.h., Germany

SOURCE: PCT Int. Appl., 40 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

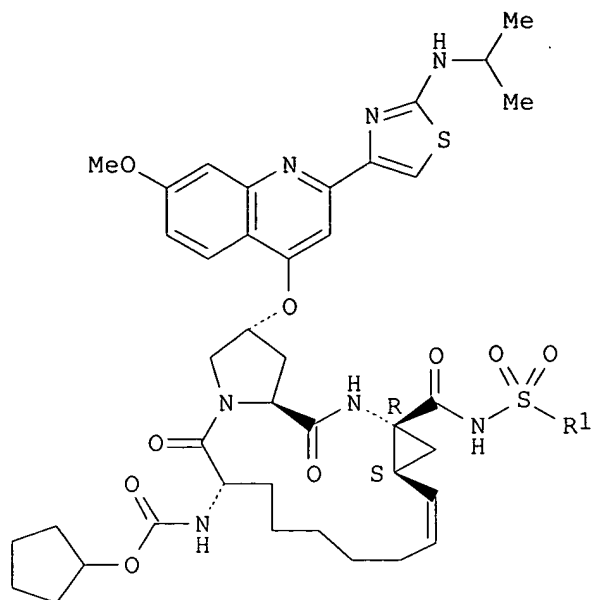
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

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| WO 2004037855 | A1 | 20040506 | WO 2003-CA1604 | 20031020 <-- |
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| US 2005075279 | A1 | 20050407 | US 2003-686755 | 20031016 <-- |
| CA 2498572 | AA | 20040506 | CA 2003-2498572 | 20031020 <-- |
| EP 1558632 | A1 | 20050803 | EP 2003-809217 | 20031020 <-- |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK | | | | |
| PRIORITY APPLN. INFO.: | | | US 2002-421414P | P 20021025 <-- |
| | | | US 2002-433820P | P 20021216 <-- |
| | | | US 2003-442768P | P 20030127 <-- |
| | | | WO 2003-CA1604 | W 20031020 |

OTHER SOURCE(S): MARPAT 140:357673

GI



AB Macrocyclic peptides I [R1 is (un)substituted alkyl, cycloalkyl, alkylcycloalkyl, aryl or heteroaryl] or their pharmaceutically-acceptable salts were prepared as inhibitors of the hepatitis C virus (HCV) NS3 protease. Thus, I (R = Me) was prepared by a multistep sequence involving peptide coupling, olefin metathesis to form the macrocycle and methanesulfonamidation.

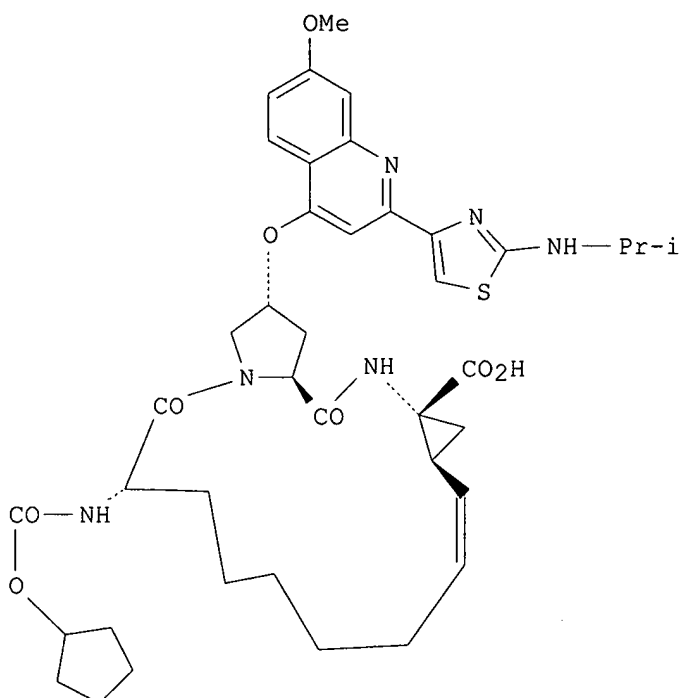
L7 ANSWER 3 OF 21 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:310970 HCAPLUS
 DOCUMENT NUMBER: 140:327091
 TITLE: Potent inhibitor of HCV serine protease
 INVENTOR(S): Chen, Shirlynn; Nehmiz, Gerhard; Croenlein, Jens
 Oliver; Steinmann, Gerhard; Gunn, Jocelyn Abella;
 Costa, Phuong Do
 PATENT ASSIGNEE(S): Boehringer Ingelheim International G.m.b.H., Germany
 SOURCE: PCT Int. Appl., 42 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------|--|----------|-----------------|--------------|
| WO 2004030670 | A1 | 20040415 | WO 2003-US30402 | 20030925 <-- |
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| US 2004138109 | A1 | 20040715 | US 2003-663220 | 20030916 <-- |
| CA 2500259 | AA | 20040415 | CA 2003-2500259 | 20030925 <-- |

EP 1549311 A1 20050706 EP 2003-770478 20030925 <--
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 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
 BR 2003014828 A 20050802 BR 2003-14828 20030925 <--
 NO 2005002130 A 20050429 NO 2005-2130 20050429 <--
 PRIORITY APPLN. INFO.: US 2002-414940P P 20020930 <--
 US 2002-421904P P 20021029 <--
 US 2002-433834P P 20021216 <--
 US 2003-443662P P 20030130 <--
 WO 2003-US30402 W 20030925

GI



I

AB Disclosed are oral pharmaceutical compns., kits and methods of treating and preventing Hepatitis C Viral (HCV) infections wherein Compound (I), a potent inhibitor of HCV serine protease, or a pharmaceutically acceptable salt thereof, is administered in a selected dosage range. Also disclosed are the use of I or a pharmaceutically acceptable salt thereof, as a control substance for validating an HCV replication assay and also as a control substance for determining the relative effectiveness of one or more substances, alone or in combination, to inhibit the replication of HCV.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 4 OF 21 HCAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 2004:252197 HCAPLUS
 DOCUMENT NUMBER: 140:281350
 TITLE: Spiro compounds for inhibiting the first-pass effect
 INVENTOR(S): Harris, James W.
 PATENT ASSIGNEE(S): Bioavailability System, LLC, USA
 SOURCE: U.S. Pat. Appl. Publ., 133 pp., Cont.-in-part of U.S.

Ser. No. 793,416.

CODEN: USXXCO

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

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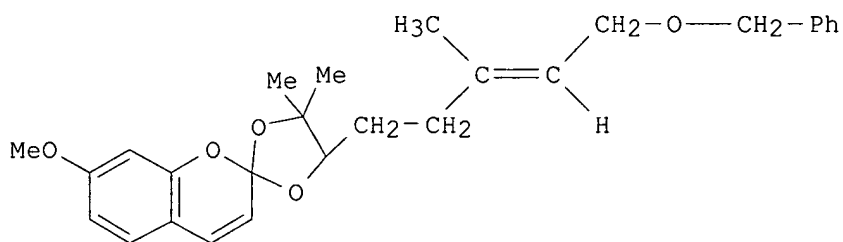
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| US 6248776 | B1 | 20010619 | US 1999-251467 | 19990217 <-- |
| US 6476066 | B1 | 20021105 | US 2001-793416 | 20010227 <-- |
| US 2005214366 | A1 | 20050929 | US 2005-81024 | 20050316 <-- |
| PRIORITY APPLN. INFO.: | | | US 1999-251467 | A3 19990217 <-- |
| | | | US 2001-793416 | A2 20010227 <-- |
| | | | US 1997-56382P | P 19970826 <-- |
| | | | US 1997-997259 | A2 19971223 <-- |
| | | | US 2003-422848 | B1 20030425 |

OTHER SOURCE(S):

MARPAT 140:281350

GI



AB Compns., methods, etc. for addressing the first-pass effect are presented. An example compound prepared was I. Also processing citrus oils to obtain the compds. is given as examples as well as assessment of human cytochrome P 450-mediated biotransformation.

L7 ANSWER 5 OF 21 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:142968 HCAPLUS

DOCUMENT NUMBER: 140:193056

TITLE: Combinations of active agents with p38 MAP kinase inhibitors, pharmaceutical compositions, and use in the treatment of cytokine-mediated diseases

INVENTOR(S): Simianer, Stefan; Bilbault, Pascal; Cappola, Michael L.; Way, Susan Lynn

PATENT ASSIGNEE(S): Boehringer Ingelheim Pharmaceuticals, Inc., USA; Boehringer Ingelheim France

SOURCE: PCT Int. Appl., 168 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

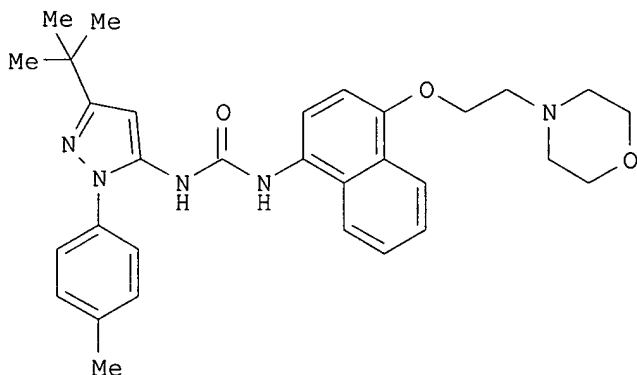
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PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------|------|----------|-----------------|--------------|
| WO 2004014387 | A1 | 20040219 | WO 2003-US25341 | 20030812 <-- |

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 US 2004110755 A1 20040610 US 2003-638702 20030811 <--
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 EP 1530477 A1 20050518 EP 2003-785255 20030812 <--
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 JP 2006501218 T2 20060112 JP 2004-528105 20030812 <--
 PRIORITY APPLN. INFO.: US 2002-403115P P 20020813 <--
 WO 2003-US25341 W 20030812

GI



AB The invention relates to pharmaceutical combination therapies based on p38 kinase inhibitors and another active ingredients, pharmaceutical compns. comprising such combinations, processes for preparing them, and their use in the treatment of cytokine-mediated diseases. Preparation of I (BIRB 796 BS) is described.

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 6 OF 21 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2003:950022 HCAPLUS

DOCUMENT NUMBER: 140:16973

TITLE: Preparation of macrocyclic peptides which are active against hepatitis C virus

INVENTOR(S): Llinas-Brunet, Montse; Gorys, Vida J.

PATENT ASSIGNEE(S): Boehringer Ingelheim (Canada) Ltd., Can.

SOURCE: U.S. Pat. Appl. Publ., 21 pp., Cont.-in-part of U.S. Ser. No. 320,978.

CODEN: USXXCO

DOCUMENT TYPE: Patent

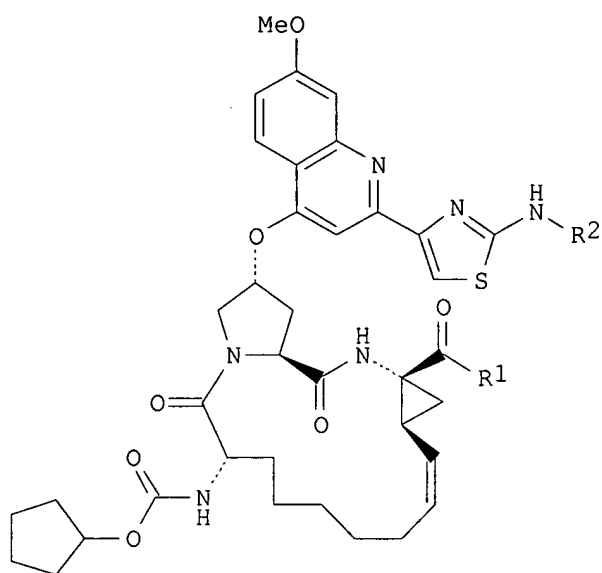
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

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| CA 2369711 | AA | 20030730 | CA 2002-2369711 | 20020130 |
| US 2003181363 | A1 | 20030925 | US 2002-320978 | 20021217 <-- |
| PRIORITY APPLN. INFO.: | | | CA 2002-2369711 | A 20020130 <-- |
| | | | US 2002-320978 | A2 20021217 <-- |

OTHER SOURCE(S): MARPAT 140:16973
GI



AB Macrocyclic peptides I [R1 is OH or NHSO₂R1A, where R1A is (cyclo)alkyl, alkylcycloalkyl, or aryl which are optionally substituted from 1 to 3 times with halo, cyano, nitro, alkoxy, etc.; R2 is cycloalkyl] or their pharmaceutically-acceptable salt were prepared as inhibitors of the HCV NS3 protease. Thus, I (R1 = OH, R2 = cyclopentyl) was prepared and shown to have IC₅₀ < 0.01 μM in the NS3-NS4A protease assay and EC₅₀ < 0.01 μM in the cell-based HCV RNA replication assay.

L7 ANSWER 7 OF 21 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2003:886572 HCAPLUS

DOCUMENT NUMBER: 140:122161

TITLE: An NS3 protease inhibitor with antiviral effects in humans infected with hepatitis C virus

AUTHOR(S): Lamarre, Daniel; Anderson, Paul C.; Bailey, Murray; Beaulieu, Pierre; Bolger, Gordon; Bonneau, Pierre; Boes, Michael; Cameron, Dale R.; Cartier, Mireille; Cordingley, Michael G.; Faucher, Anne-Marie; Goudreau, Nathalie; Kawai, Stephen H.; Kukolj, George; Lagace, Lisette; LaPlante, Steven R.; Narjes, Hans; Poupart, Marc-Andre; Rancourt, Jean; Sentjens, Roel E.; St. George, Roger; Simoneau, Bruno; Steinmann, Gerhard; Thibeault, Diane; Tsantrizos, Youla S.; Weldon, Steven M.; Yong, Chan-Loi; Llinas-Brunet, Montse

CORPORATE SOURCE: Departments of Biological Sciences, Boehringer

SOURCE: Ingelheim (Canada) Ltd, Laval, QC, H7S 2G5, Can.
Nature (London, United Kingdom) (2003),
426(6963), 186-189
CODEN: NATUAS; ISSN: 0028-0836

PUBLISHER: Nature Publishing Group

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Hepatitis C virus (HCV) infection is a serious cause of chronic liver disease worldwide with more than 170 million infected individuals at risk of developing significant morbidity and mortality. Current interferon-based therapies are suboptimal especially in patients infected with HCV genotype 1, and they are poorly tolerated, highlighting the unmet medical need for new therapeutics. The HCV-encoded NS3 protease is essential for viral replication and has long been considered an attractive target for therapeutic intervention in HCV-infected patients. Here we identify a class of specific and potent NS3 protease inhibitors and report the evaluation of BILN 2061, a small mol. inhibitor biol. available through oral ingestion and the first of its class in human trials. Administration of BILN 2061 to patients infected with HCV genotype 1 for 2 days resulted in an impressive reduction of HCV RNA plasma levels, and established proof-of-concept in humans for an HCV NS3 protease inhibitor. Our results further illustrate the potential of the viral-enzyme-targeted drug discovery approach for the development of new HCV therapeutics.

REFERENCE COUNT: 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 8 OF 21 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2003:648255 HCAPLUS

DOCUMENT NUMBER: 139:197768

TITLE: Preparation of macrocyclic peptides active against the hepatitis C virus

INVENTOR(S): Tsantrizos, Youla S.; Cameron, Dale R.; Faucher, Anne-Marie; Ghiro, Elise; Goudreau, Nathalie; Halmos, Teddy; Llinas-Brunet, Montse

PATENT ASSIGNEE(S): Boehringer Ingelheim (Canada) Ltd., Can.

SOURCE: U.S., 90 pp., Cont.-in-part of U.S. Ser. No. 542,675, abandoned.
CODEN: USXXAM

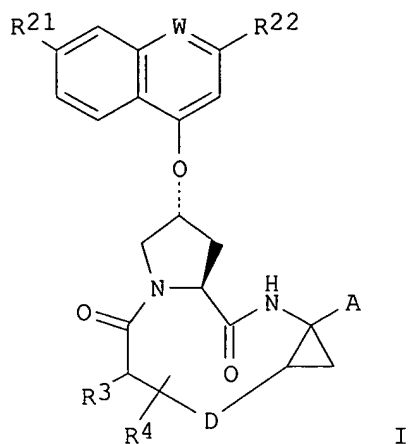
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-------------------|-----------------|
| US 6608027 | B1 | 20030819 | US 2001-760946 | 20010116 <-- |
| EP 1437362 | A1 | 20040714 | EP 2004-9264 | 20000403 <-- |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY | | | | |
| US 2004002448 | A1 | 20040101 | US 2003-358726 | 20030205 <-- |
| PRIORITY APPLN. INFO.: | | | US 1999-128011P | P 19990406 <-- |
| | | | US 2000-542675 | B2 20000403 <-- |
| | | | EP 2000-913999 | A3 20000403 <-- |
| | | | US 2001-760946 | A1 20010116 <-- |
| OTHER SOURCE(S): | | | MARPAT 139:197768 | |
| GI | | | | |



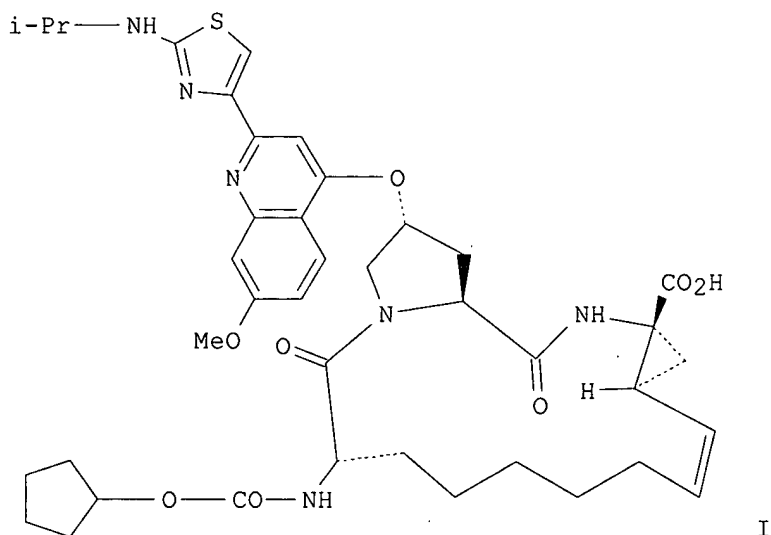
REFERENCE COUNT: 50 THERE ARE 50 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 9 OF 21 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:633516 HCAPLUS
DOCUMENT NUMBER: 139:185670
TITLE: Pharmaceutical compositions for hepatitis C viral
protease inhibitors
INVENTOR(S): Chen, Shirlynn; Mei, Xiaohui
PATENT ASSIGNEE(S): Boehringer Ingelheim Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 73 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------|--|----------|-----------------|--------------|
| WO 2003066103 | A1 | 20030814 | WO 2003-US3380 | 20030205 <-- |
| W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW | | | |

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
 KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
 FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF,
 BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2003195228 A1 20031016 US 2003-357919 20030204 <--
 US 6828301 B2 20041207
 CA 2472249 AA 20030814 CA 2003-2472249 20030205 <--
 AU 2003208989 A1 20030902 AU 2003-208989 20030205 <--
 EP 1474172 A1 20041110 EP 2003-707713 20030205 <--
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
 BR 2003007524 A 20041221 BR 2003-7524 20030205 <--
 JP 2005518423 T2 20050623 JP 2003-565526 20030205 <--
 ZA 2004005064 A 20050530 ZA 2004-5064 20040625 <--
 NO 2004003722 A 20040906 NO 2004-3722 20040906 <--
 PRIORITY APPLN. INFO.: US 2002-355694P P 20020207 <--
 WO 2003-US3380 W 20030205 <--
 OTHER SOURCE(S): MARPAT 139:185670
 GI



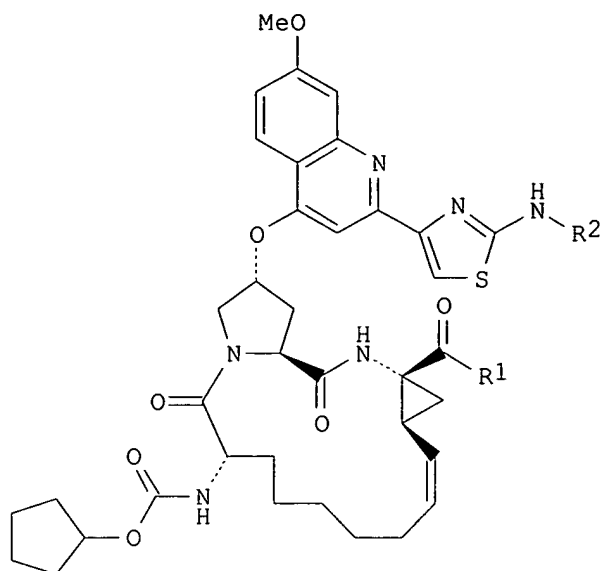
AB Disclosed are pharmaceutical compns. of hepatitis C viral protease inhibitors having improved bioavailability, and methods of using these compns. for inhibiting the replication of the hepatitis C virus (HCV) and for the treatment of an HCV infection. These compns. include co-solvent systems, lipid based systems, solid dispersions and granulations, and all comprise the hepatitis C viral protease inhibitor, at least one pharmaceutically acceptable amine and optionally one or more addnl. ingredients. A composition contained I 4, tromethamine 3.2, water 44.8, ethanol 21.3, and propylene glycol 26.7 weight/weight%.

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 10 OF 21 HCAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 2003:610478 HCAPLUS
 DOCUMENT NUMBER: 139:164979
 TITLE: Preparation of macrocyclic peptides which are active

INVENTOR(S): against hepatitis C virus
 Llinas-Brunet, Montse; Gorys, Vida J.
 PATENT ASSIGNEE(S): Boehringer Ingelheim (Canada) Ltd., Can.
 SOURCE: PCT Int. Appl., 42 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|-------------------|-----------------|----------------|
| WO 2003064455 | A2 | 20030807 | WO 2003-CA89 | 20030124 <-- |
| WO 2003064455 | A3 | 20040205 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2369711 | AA | 20030730 | CA 2002-2369711 | 20020130 |
| CA 2474035 | AA | 20030807 | CA 2003-2474035 | 20030124 <-- |
| EP 1472278 | A2 | 20041103 | EP 2003-700743 | 20030124 <-- |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK | | | | |
| BR 2003007297 | A | 20041221 | BR 2003-7297 | 20030124 <-- |
| CN 1639187 | A | 20050713 | CN 2003-805484 | 20030124 <-- |
| JP 2005524632 | T2 | 20050818 | JP 2003-564075 | 20030124 <-- |
| ZA 2004005639 | A | 20050701 | ZA 2004-5639 | 20040715 <-- |
| NO 2004003591 | A | 20040827 | NO 2004-3591 | 20040827 <-- |
| PRIORITY APPLN. INFO.: | | | CA 2002-2369711 | A 20020130 <-- |
| | | | WO 2003-CA89 | W 20030124 <-- |
| OTHER SOURCE(S): | | MARPAT 139:164979 | | |
| GI | | | | |



I

AB Macrocytic peptides I [R1 is OH or NHSO₂R_{1A}, where R_{1A} is (cyclo)alkyl, alkylcycloalkyl, or aryl which are optionally substituted from 1 to 3 times with halo, cyano, nitro, alkoxy, etc.; R₂ is cycloalkyl] or their pharmaceutically-acceptable salt were prepared as inhibitors of the HCV NS3 protease. Thus, I (R₁ = OH, R₂ = cyclopentyl) was prepared and shown to have IC₅₀ < 0.01 μM in the NS3-NS4A protease assay and EC₅₀ < 0.01 μM in the cell-based HCV RNA replication assay.

L7 ANSWER 11 OF 21 HCAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 2003:511084 HCAPLUS
 DOCUMENT NUMBER: 139:69527
 TITLE: Preparation of macrocyclic compounds as inhibitors of hepatitis C virus
 INVENTOR(S): Campbell, Jeffrey Allen; Good, Andrew Charles
 PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
 SOURCE: PCT Int. Appl., 225 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------|--|----------|-----------------|--------------|
| WO 2003053349 | A2 | 20030703 | WO 2002-US39926 | 20021213 <-- |
| WO 2003053349 | A3 | 20040115 | | |
| W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW | | | |
| RW: | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | |
| US 2004038872 | A1 | 20040226 | US 2002-317451 | 20021212 <-- |
| US 6867185 | B2 | 20050315 | | |

EP 1455809 A2 20040915 EP 2002-795860 20021213 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK
PRIORITY APPLN. INFO.: US 2001-344080P P 20011220 <--
 US 2002-382103P P 20020520 <--
 WO 2002-US39926 W 20021213 <--
OTHER SOURCE(S): MARPAT 139:69527
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention relates to macrocyclic compds. I [R1 = (cyclo)alkyl; R2 = H, halo, alkyl, alkoxy, cycloalkoxy, (un)substituted aryl or heterocyclyl; R3 = H, halo, CF3, alkoxy, cycloalkoxy; R4 = NH2 or NHR6, where R6 is alkanoyl, alkylaminocarbonyl, or carbalkoxy; Q is a 3-9 atom (un)saturated alkylene chain optionally containing 1-3 heteroatoms O, S, SO, or SO2], including methods for their synthesis and use in pharmaceutical compns. for therapeutic or prophylactic prevention or treatment of hepatitis C virus (HCV) infection. Thus, 3,13-diazatricyclo[11.3.0.04,6]hexadec-7-ene derivative II was prepared by a multistep procedure and assayed for inhibition of HCV NS3/4A protease (IC50 < 5 μ M).

L7 ANSWER 12 OF 21 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:338309 HCAPLUS
DOCUMENT NUMBER: 139:143358
TITLE: Macrocyclic inhibitors of the NS3 protease as potential therapeutic agents of hepatitis C virus infection
AUTHOR(S): Tsantrizos, Youla S.; Bolger, Gordon; Bonneau, Pierre; Cameron, Dale R.; Goudreau, Nathalie; Kukolj, George; LaPlante, Steven R.; Llinas-Brunet, Montse; Nar, Herbert; Lamarre, Daniel
CORPORATE SOURCE: Departments of Chemistry and Biological Sciences Research and Development, Boehringer Ingelheim (Canada) Ltd., Laval, QC, H7S 2G5, Can.
SOURCE: Angewandte Chemie, International Edition (2003), 42(12), 1356-1360
 CODEN: ACIEF5; ISSN: 1433-7851
PUBLISHER: Wiley-VCH Verlag GmbH & Co. KGaA
DOCUMENT TYPE: Journal
LANGUAGE: English

AB A novel class of selective inhibitors of the hepatitis C virus NS3 protease, an enzyme which is essential for viral replication in vivo, was developed. The inhibitors are based on the structure-activity relationship between a substrate-based peptidomimetic ligand and the HCV NS3 serine protease. The designed HCV inhibitor and its saturated analogs are the first inhibitors of the NS3 protease which inhibit HCV RNA replication in the cell-based replicon assay. In addition, they are orally absorbed and stable to metabolic breakdown. Thus, these compds. show many of the desirable properties of a druglike archetype and could lead to a clinically useful antiviral agent for the treatment of hepatitis C viral infections in humans.

REFERENCE COUNT: 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 13 OF 21 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2000:725652 HCAPLUS
DOCUMENT NUMBER: 133:296659

TITLE: Preparation of macrocyclic peptides active against the hepatitis C virus

INVENTOR(S): Tsantrizos, Youla S.; Cameron, Dale R.; Faucher, Anne-marie; Ghiro, Elise; Goudreau, Nathalie; Halmos, Teddy; Llinas-brunet, Montse

PATENT ASSIGNEE(S): Boehringer Ingelheim (Canada) Ltd., Can.

SOURCE: PCT Int. Appl., 154 pp.
CODEN: PIXXD2

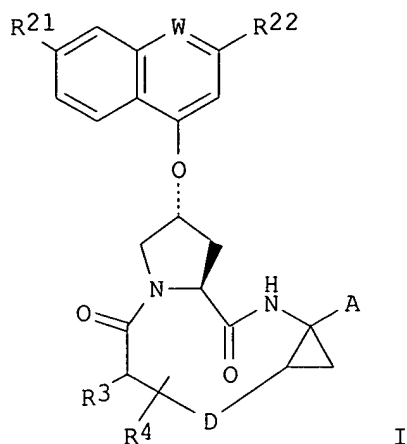
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|-------------------|----------|-------------------|-----------------|
| WO 2000059929 | A1 | 20001012 | WO 2000-CA353 | 20000403 <-- |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW | | | | |
| RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2367127 | AA | 20001012 | CA 2000-2367127 | 20000403 <-- |
| CA 2367127 | C | 20050118 | | |
| EP 1169339 | A1 | 20020109 | EP 2000-913999 | 20000403 <-- |
| EP 1169339 | B1 | 20040929 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | | |
| BR 2000009599 | A | 20020115 | BR 2000-9599 | 20000403 <-- |
| TR 200102878 | T2 | 20020121 | TR 2001-200102878 | 20000403 <-- |
| EE 200100516 | A | 20021216 | EE 2001-516 | 20000403 <-- |
| NZ 515286 | A | 20040227 | NZ 2000-515286 | 20000403 <-- |
| EP 1437362 | A1 | 20040714 | EP 2004-9264 | 20000403 <-- |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY | | | | |
| AT 277945 | E | 20041015 | AT 2000-913999 | 20000403 <-- |
| AU 778390 | B2 | 20041202 | AU 2000-35480 | 20000403 <-- |
| PT 1169339 | T | 20041231 | PT 2000-913999 | 20000403 <-- |
| RU 2247126 | C2 | 20050227 | RU 2001-129709 | 20000403 <-- |
| ES 2230084 | T3 | 20050501 | ES 2000-913999 | 20000403 <-- |
| ZA 2001007862 | A | 20040401 | ZA 2001-7862 | 20010925 <-- |
| BG 105970 | A | 20020531 | BG 2001-105970 | 20011002 <-- |
| HR 2001000720 | A1 | 20021231 | HR 2001-720 | 20011004 <-- |
| NO 2001004857 | A | 20011031 | NO 2001-4857 | 20011005 <-- |
| HK 1042714 | A1 | 20050401 | HK 2002-104507 | 20020618 <-- |
| PRIORITY APPLN. INFO.: | | | US 1999-128011P | P 19990406 <-- |
| | | | EP 2000-913999 | A3 20000403 <-- |
| | | | WO 2000-CA353 | W 20000403 <-- |
| OTHER SOURCE(S): | MARPAT 133:296659 | | | |
| GI | | | | |



AB Macrocyclic peptides I [W = CH or N; R21 = H, halo, alkyl, cycloalkyl, haloalkyl, alkoxy, cycloalkoxy, hydroxy, or an amino group; R22 = H, halo, alkyl, cycloalkyl, haloalkyl, thioalkyl, alkoxy, cycloalkoxy, alkoxyalkyl, cycloalkyl, aryl or heteroaryl; R3 = hydroxy, NH₂, aryl- or heteroarylamino, NHCOR₃₂, CONHR₃₂, CO₂R₃₂, where R₃₂ is alkyl or cycloalkyl; D is a 5 to 10-atom saturated or unsatd. alkylene chain optionally containing one to three heteroatoms independently selected from: O, S, or NH or substituted imino; R4 = H or from one to three substituents at any carbon atom of chain D; A is an amide or carboxylic acid group or a pharmaceutically acceptable salt or ester; two diastereomers may exist at the cyclopropane moiety] were prepared which are active in-vitro and in cellular assays against the NS3 protease of the hepatitis C virus . Thus, macrocyclic peptide I [W = N; R21, R22, R4 = H; A = CO₂H; R₃CH-D = (S)-(Me₃CO₂CNH)CH(CH₂)₃CH:CH(CH₂)₂-E (syn to acid)] was prepared and showed IC₅₀ > 0.1 μM in the full-length NS3-NS4A heterodimer protein fluorogenic assay.

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 14 OF 21 USPATFULL on STN

ACCESSION NUMBER: 2005:183951 USPATFULL

TITLE: Composition for the treatment of infection by
Flaviviridae viruses

INVENTOR(S): Lamarre, Daniel, Laval, CANADA

Lagace, Lisette, Laval, CANADA

PATENT ASSIGNEE(S): Boehringer Ingelheim International GmbH, Ingelheim,
GERMANY, FEDERAL REPUBLIC OF (non-U.S. corporation)

| | NUMBER | KIND | DATE |
|---------------------|----------------|------|---------------|
| PATENT INFORMATION: | US 2005159345 | A1 | 20050721 |
| APPLICATION INFO.: | US 2003-687204 | A1 | 20031016 (10) |

| | NUMBER | DATE | |
|-----------------------|-----------------|---------------|-----|
| PRIORITY INFORMATION: | US 2002-421900P | 20021029 (60) | <-- |
| | US 2003-442769P | 20030127 (60) | <-- |

DOCUMENT TYPE: Utility

FILE SEGMENT: APPLICATION

LEGAL REPRESENTATIVE: MICHAEL P. MORRIS, BOEHRINGER INGELHEIM CORPORATION,
900 RIDGEBURY RD, P O BOX 368, RIDGEFIELD, CT,
06877-0368, US

NUMBER OF CLAIMS: 14
 EXEMPLARY CLAIM: 1
 NUMBER OF DRAWINGS: 7 Drawing Page(s)
 LINE COUNT: 1423
 CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Compositions, use, article of manufacture and method for the treatment of a mammal infected with a virus of the Flaviviridae family are provided comprising administration to the infected mammal of a compound having the Formula I: ##STR1## wherein,

A is selected from: C.sub.1 to C.sub.6 alkyl and C.sub.3 to C.sub.6 cycloalkyl; and B is selected from: phenyl or thiazolyl, both of which optionally substituted with a group selected from NH(R.sup.1) and NH(CO)R.sup.1, wherein R.sup.1 is C.sub.1 to C.sub.6 alkyl; R is OH or a sulfonamide derivative; or a pharmaceutically acceptable salt thereof.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L7 ANSWER 15 OF 21 USPTF on STN

ACCESSION NUMBER: 2005:87814 USPTF
 TITLE: Macrocyclic peptides active against the hepatitis C virus
 INVENTOR(S): Llinas-Brunet, Montse, Dollard-des-Ormeaux, CANADA
 Bailey, Murray D., Pierrefonds, CANADA
 PATENT ASSIGNEE(S): Boehringer Ingelheim International GmbH, Ingelheim, GERMANY, FEDERAL REPUBLIC OF (non-U.S. corporation)

| | NUMBER | KIND | DATE |
|---------------------|----------------|------|---------------|
| PATENT INFORMATION: | US 2005075279 | A1 | 20050407 |
| APPLICATION INFO.: | US 2003-686755 | A1 | 20031016 (10) |

| | NUMBER | DATE | |
|-----------------------|--|---------------|-----|
| PRIORITY INFORMATION: | US 2002-421414P | 20021025 (60) | <-- |
| | US 2002-433820P | 20021216 (60) | <-- |
| | US 2003-442768P | 20030127 (60) | <-- |
| DOCUMENT TYPE: | Utility | | |
| FILE SEGMENT: | APPLICATION | | |
| LEGAL REPRESENTATIVE: | MICHAEL P. MORRIS, BOEHRINGER INGELHEIM CORPORATION, 900 RIDGEBURY RD, P O BOX 368, RIDGEFIELD, CT, 06877-0368 | | |

NUMBER OF CLAIMS: 28
 EXEMPLARY CLAIM: 1
 LINE COUNT: 1163
 CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Compounds of formula (I): ##STR1##

wherein R.sup.1 is (C.sub.1-8)alkyl, (C.sub.3-7)cycloalkyl, {(C.sub.1-6)alkyl-(C.sub.3-7)cycloalkyl} or Het, which are all optionally substituted from 1 to 3 times with halo, cyano, nitro, O--(C.sub.1-6)alkyl, amido, amino or phenyl, or R.sup.1 is C.sub.6 or C.sub.10 aryl which is optionally substituted from 1 to 3 times with halo, cyano, nitro, (C.sub.1-6)alkyl, O--(C.sub.1-6)alkyl, amido, amino or phenyl; or a pharmaceutically acceptable salt thereof, useful as an inhibitor of the HCV NS3 protease.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L7 ANSWER 16 OF 21 USPTF on STN

ACCESSION NUMBER: 2004:178939 USPTF

TITLE: Potent inhibitor of HCV serine protease
 INVENTOR(S): Chen, Shirlynn, Somers, NY, UNITED STATES
 Croenlein, Jens Oliver, Mittelbiberach, GERMANY, FEDERAL REPUBLIC OF
 Nehmiz, Gerhard, Biberach, GERMANY, FEDERAL REPUBLIC OF
 Steinmann, Gerhard, Erbach-Bach, GERMANY, FEDERAL REPUBLIC OF
 Gunn, Jocelyn Abella, Hamden, CT, UNITED STATES
 Costa, Phuong Do, Danbury, CT, UNITED STATES
 PATENT ASSIGNEE(S): Boehringer Ingelheim Pharmaceuticals, Inc., Ridgefield, CT, UNITED STATES (U.S. corporation)
 Boehringer Ingelheim Pharma GmbH & CO. KG, Ingelheim, GERMANY, FEDERAL REPUBLIC OF (U.S. corporation)

| | NUMBER | KIND | DATE |
|---------------------|----------------|------|---------------|
| PATENT INFORMATION: | US 2004138109 | A1 | 20040715 |
| APPLICATION INFO.: | US 2003-663220 | A1 | 20030916 (10) |

| | NUMBER | DATE | |
|-----------------------|-----------------|---------------|-----|
| PRIORITY INFORMATION: | US 2002-414940P | 20020930 (60) | <-- |
| | US 2002-421904P | 20021029 (60) | <-- |
| | US 2002-433834P | 20021216 (60) | <-- |
| | US 2003-443662P | 20030130 (60) | <-- |

DOCUMENT TYPE: Utility
 FILE SEGMENT: APPLICATION
 LEGAL REPRESENTATIVE: BOEHRINGER INGELHEIM CORPORATION, 900 RIDGEBURY ROAD, P O BOX 368, RIDGEFIELD, CT, 06877
 NUMBER OF CLAIMS: 28
 EXEMPLARY CLAIM: 1
 LINE COUNT: 1072

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Disclosed are oral pharmaceutical compositions, kits and methods of treating and preventing Hepatitis C Viral (HCV) infections wherein the following Compound (1), a potent inhibitor of HCV serine protease, or a pharmaceutically acceptable salt thereof, is administered in a selected dosage range: ##STR1##

Also disclosed are the use of a compound of formula (1), or a pharmaceutically acceptable salt thereof, as a control substance for validating an HCV replication assay and also as a control substance for determining the relative effectiveness of one or more substances, alone or in combination, to inhibit the replication of HCV.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L7 ANSWER 17 OF 21 USPATFULL on STN
 ACCESSION NUMBER: 2004:145082 USPATFULL
 TITLE: Combination therapy with p38 MAP kinase inhibitors and their pharmaceutical compositions
 INVENTOR(S): Simianer, Stefan, Mittelbiberach, GERMANY, FEDERAL REPUBLIC OF
 Bilbault, Pascal, Reims, FRANCE
 Cappola, Michael L., Wilton, CT, UNITED STATES
 Way, Susan Lynn, Danbury, CT, UNITED STATES
 PATENT ASSIGNEE(S): Boehringer Ingelheim Pharmaceuticals, Inc., Ridgefield, CT (non-U.S. corporation)
 Boehringer Ingelheim France, Paris, FRANCE (non-U.S. corporation)

| | NUMBER | KIND | DATE |
|---------------------|----------------|------|---------------|
| PATENT INFORMATION: | US 2004110755 | A1 | 20040610 |
| APPLICATION INFO.: | US 2003-638702 | A1 | 20030811 (10) |

| | NUMBER | DATE |
|-----------------------|--|-------------------|
| PRIORITY INFORMATION: | US 2002-403115P | 20020813 (60) <-- |
| DOCUMENT TYPE: | Utility | |
| FILE SEGMENT: | APPLICATION | |
| LEGAL REPRESENTATIVE: | BOEHRINGER INGELHEIM CORPORATION, 900 RIDGEBURY ROAD, P O BOX 368, RIDGEFIELD, CT, 06877 | |
| NUMBER OF CLAIMS: | 17 | |
| EXEMPLARY CLAIM: | 1 | |
| LINE COUNT: | 4651 | |

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention relates to pharmaceutical combinations therapies based on p38 kinase inhibitors and another active ingredient, pharmaceutical compositions comprising such combinations, processes for preparing them and their use in the treatment of cytokine mediated diseases.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L7 ANSWER 18 OF 21 USPATFULL on STN
 ACCESSION NUMBER: 2004:51441 USPATFULL
 TITLE: Inhibitors of hepatitis C virus
 INVENTOR(S): Campbell, Jeffrey Allen, Cheshire, CT, UNITED STATES
 Good, Andrew Charles, Wallingford, CT, UNITED STATES

| | NUMBER | KIND | DATE |
|---------------------|----------------|------|---------------|
| PATENT INFORMATION: | US 2004038872 | A1 | 20040226 |
| | US 6867185 | B2 | 20050315 |
| APPLICATION INFO.: | US 2002-317451 | A1 | 20021212 (10) |

| | NUMBER | DATE |
|-----------------------|--|-------------------|
| PRIORITY INFORMATION: | US 2002-382103P | 20020520 (60) <-- |
| | US 2001-344080P | 20011220 (60) <-- |
| DOCUMENT TYPE: | Utility | |
| FILE SEGMENT: | APPLICATION | |
| LEGAL REPRESENTATIVE: | STEPHEN B. DAVIS, BRISTOL-MYERS SQUIBB COMPANY, PATENT DEPARTMENT, P O BOX 4000, PRINCETON, NJ, 08543-4000 | |
| NUMBER OF CLAIMS: | 14 | |
| EXEMPLARY CLAIM: | 1 | |
| LINE COUNT: | 5050 | |

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention relates to macrocyclic compounds, methods for making these compounds, pharmaceutical compositions and the therapeutic or prophylactic use of these compounds by administering said compounds to mammals to prevent or treat hepatitis C virus (HCV) infection.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L7 ANSWER 19 OF 21 USPATFULL on STN
 ACCESSION NUMBER: 2004:2425 USPATFULL
 TITLE: Macrocyclic peptides active against the hepatitis C virus
 INVENTOR(S): Tsantrizos, Youla S., Saint-Laurent, CANADA
 Cameron, Dale R., Rosemere, CANADA

Faucher, Anne-Marie, Oka, CANADA
 Ghiron, Elise, Laval, CANADA
 Goudreau, Nathalie, Mont-Royal, CANADA
 Halmos, Teddy, Laval, CANADA
 Llinas-Brunet, Montse, Dollard-des-Ormeaux, CANADA
 PATENT ASSIGNEE(S): Boehringer Ingelheim (Canada) Ltd., Laval, CANADA
 (non-U.S. corporation)

| | NUMBER | KIND | DATE |
|-----------------------|--|------|---------------|
| PATENT INFORMATION: | US 2004002448 | A1 | 20040101 |
| APPLICATION INFO.: | US 2003-358726 | A1 | 20030205 (10) |
| RELATED APPLN. INFO.: | Continuation of Ser. No. US 2001-760946, filed on 16 Jan 2001, PENDING Continuation-in-part of Ser. No. US 2000-542675, filed on 3 Apr 2000, ABANDONED | | |

| | NUMBER | DATE |
|-----------------------|--|-------------------|
| PRIORITY INFORMATION: | US 1999-128011P | 19990406 (60) <-- |
| DOCUMENT TYPE: | Utility | |
| FILE SEGMENT: | APPLICATION | |
| LEGAL REPRESENTATIVE: | BOEHRINGER INGELHEIM CORPORATION, 900 RIDGEBURY RD, P O BOX 368, RIDGEFIELD, CT, 06877 | |
| NUMBER OF CLAIMS: | 1 | |
| EXEMPLARY CLAIM: | 1 | |
| LINE COUNT: | 3518 | |

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention covers macrocyclic compounds of formula I active in-vitro and in cellular assays against the NS3 protease of the hepatitis C virus. ##STR1##

wherein W, R.sup.21, R.sup.22, R.sup.3, R.sup.4, D and A are as defined herein, or a pharmaceutically acceptable salt or ester thereof.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L7 ANSWER 20 OF 21 USPATFULL on STN
 ACCESSION NUMBER: 2003:277201 USPATFULL
 TITLE: Pharmaceutical compositions for hepatitis C viral protease inhibitors
 INVENTOR(S): Chen, Shirlynn, Somers, NY, UNITED STATES
 Mei, Xiaohui, Highland Mills, NY, UNITED STATES
 PATENT ASSIGNEE(S): Boehringer Ingelheim Pharmaceuticals, Inc., Ridgefield, CT (U.S. corporation)

| | NUMBER | KIND | DATE |
|---------------------|----------------|------|---------------|
| PATENT INFORMATION: | US 2003195228 | A1 | 20031016 |
| | US 6828301 | B2 | 20041207 |
| APPLICATION INFO.: | US 2003-357919 | A1 | 20030204 (10) |

| | NUMBER | DATE |
|-----------------------|--|-------------------|
| PRIORITY INFORMATION: | US 2002-355694P | 20020207 (60) <-- |
| DOCUMENT TYPE: | Utility | |
| FILE SEGMENT: | APPLICATION | |
| LEGAL REPRESENTATIVE: | BOEHRINGER INGELHEIM CORPORATION, 900 RIDGEBURY ROAD, P O BOX 368, RIDGEFIELD, CT, 06877 | |
| NUMBER OF CLAIMS: | 45 | |
| EXEMPLARY CLAIM: | 1 | |
| NUMBER OF DRAWINGS: | 2 Drawing Page(s) | |

LINE COUNT: 1696

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Disclosed are pharmaceutical compositions of hepatitis C viral protease inhibitors having improved bioavailability, and methods of using these compositions for inhibiting the replication of the hepatitis C virus (HCV) and for the treatment of an HCV infection. These compositions include co-solvent systems, lipid based systems, solid dispersions and granulations, and all comprise the hepatitis C viral protease inhibitor, at least one pharmaceutically acceptable amine and optionally one or more additional ingredients.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L7 ANSWER 21 OF 21 USPATFULL on STN

ACCESSION NUMBER: 2003:258310 USPATFULL

TITLE: Macrocyclic peptides active against the hepatitis C virus

INVENTOR(S): Llinas-Brunet, Montse, Laval, CANADA

Gorys, Vida J., Laval, CANADA

PATENT ASSIGNEE(S): Boehringer Ingelheim (Canada) Ltd., Laval, CANADA
(non-U.S. corporation)

| | NUMBER | KIND | DATE |
|---------------------|----------------|------|---------------|
| PATENT INFORMATION: | US 2003181363 | A1 | 20030925 |
| APPLICATION INFO.: | US 2002-320978 | A1 | 20021217 (10) |

| | NUMBER | DATE | |
|-----------------------|---|----------|-----|
| PRIORITY INFORMATION: | CA 2002-2369711 | 20020130 | <-- |
| DOCUMENT TYPE: | Utility | | |
| FILE SEGMENT: | APPLICATION | | |
| LEGAL REPRESENTATIVE: | BOEHRINGER INGELHEIM CORPORATION, 900 RIDGEBURY RD, P O BOX 368, RIDGEFIELD, CT, 06877 | | |
| NUMBER OF CLAIMS: | 27 | | |
| EXEMPLARY CLAIM: | 1 | | |
| LINE COUNT: | 1345 | | |

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Compounds of formula I: ##STR1##

wherein R.sup.1 is hydroxy or NHSO.sub.2R.sup.1A wherein R.sup.1A is (C.sub.1-8)alkyl, (C.sub.3-7)cycloalkyl or {(C.sub.1-6)alkyl-(C.sub.3-7)cycloalkyl}, which are all optionally substituted from 1 to 3 times with halo, cyano, nitro, O(C.sub.1-6)alkyl, amido, amino or phenyl, or R.sup.1A is C.sub.6 or C.sub.10 aryl which is optionally substituted from 1 to 3 times with halo, cyano, nitro, (C.sub.1-6)alkyl, O(C.sub.1-6)alkyl, amido, amino or phenyl; R.sup.2 is (C.sub.5-6)cycloalkyl and R.sup.3 is cyclopentyl; or a pharmaceutically acceptable salt thereof, useful as inhibitors of the HCV NS3 protease.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

| Ref # | Hits | Search Query | DBs | Default Operator | Plurals | Time Stamp |
|-------|--------|---|---|------------------|---------|------------------|
| L2 | 7 | "6608027".pn. or "6231887".pn. or "20030195228".pn. | US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT | AND | ON | 2006/02/13 15:36 |
| L3 | 290675 | sodium adj hydroxide | US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT | AND | ON | 2006/02/13 15:36 |
| L4 | 148946 | potassium adj hydroxide | US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT | AND | ON | 2006/02/13 15:36 |
| L5 | 166973 | sodium adj2 carbonate | US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT | AND | ON | 2006/02/13 15:36 |
| L7 | 48284 | aluminum adj hydroxide | US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT | AND | ON | 2006/02/13 15:37 |
| L8 | 29305 | magnesium adj hydroxide | US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT | AND | ON | 2006/02/13 15:37 |
| L9 | 420 | magnesium adj aluminum adj hydroxide | US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT | AND | ON | 2006/02/13 15:37 |
| L10 | 457143 | l9 or l8 or l7 or l5 or l4 or l3 | US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT | AND | ON | 2006/02/13 15:41 |
| L11 | 28913 | l10 same base same ph | US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT | AND | ON | 2006/02/13 15:38 |
| L12 | 387 | l11 same lubricant | US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT | AND | ON | 2006/02/13 15:38 |

| | | | | | | |
|-----|-------|---|---|------|----|------------------|
| L13 | 2386 | I11 same pharmaceutical | US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT | AND | ON | 2006/02/13 15:38 |
| L14 | 57 | I12 and I13 | US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT | AND | ON | 2006/02/13 15:38 |
| L15 | 26 | I9 same I8 same I7 same I5 same I4 same I3 | US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT | AND | ON | 2006/02/13 15:41 |
| L16 | 2 | ("2001/0024658").URPN. | USPAT | AND | ON | 2006/02/13 15:50 |
| L17 | 4 | amine same oil same (hydrophilic adj solvent) same polymer same surfactant | USPAT | AND | ON | 2006/02/13 15:52 |
| L19 | 91361 | ethanolamine or diethanolamine or triethanolamine or tromethamine or (tris adj hydroxymethyl adj aminomethane) or (ethylene adj diamine) or dimethylaminoethanol or meglumine | USPAT | AND | ON | 2006/02/13 15:53 |
| L20 | 0 | ethanolamine diethanolamine triethanolamine tromethamine (tris adj hydroxymethyl adj aminomethane) (ethylene adj diamine) dimethylaminoethanol meglumine | USPAT | SAME | ON | 2006/02/13 15:54 |
| L21 | 0 | ethanolamine diethanolamine triethanolamine tromethamine (tris adj hydroxymethyl adj aminomethane) (ethylene adj diamine) dimethylaminoethanol meglumine | USPAT | AND | ON | 2006/02/13 15:54 |
| L22 | 0 | I21 and I10 | USPAT | AND | ON | 2006/02/13 15:54 |
| L23 | 46395 | I19 and I10 | USPAT | AND | ON | 2006/02/13 15:54 |
| L24 | 8 | I15 same I19 | USPAT | AND | ON | 2006/02/13 16:45 |
| L27 | 2 | wo-2004039833-\$.did. | US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT | AND | ON | 2006/02/13 16:45 |
| L28 | 2 | wo-2004037855-\$.did. | US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT | AND | ON | 2006/02/13 16:46 |

| | | | | | | |
|-----|---|--------------------------------|---|-----|----|------------------|
| L29 | 2 | wo-2004030670-\$.did. | US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT | AND | ON | 2006/02/13 16:46 |
| L30 | 3 | "6248776".pn. or "6476066".pn. | US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT | AND | ON | 2006/02/13 16:46 |
| L31 | 2 | wo-2004014387-\$.did. | US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT | AND | ON | 2006/02/13 16:47 |
| L33 | 2 | "20030224977".pn. | US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT | AND | ON | 2006/02/13 16:47 |
| L34 | 3 | "6608027".pn. | US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT | AND | ON | 2006/02/13 16:48 |
| L37 | 1 | wo-2003066103-\$.did. | US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT | AND | ON | 2006/02/13 16:49 |
| L38 | 1 | wo-2003064455-\$.did. | US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT | AND | ON | 2006/02/13 16:48 |
| L39 | 1 | wo-2003053349-\$.did. | US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT | AND | ON | 2006/02/13 16:49 |
| L42 | 2 | "20050159345".pn. | US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT | AND | ON | 2006/02/13 16:51 |
| L48 | 2 | "20040038872".pn. | US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT | AND | ON | 2006/02/13 16:53 |

| | | | | | | |
|-----|----|--|---|-----|----|------------------|
| L49 | 2 | "20040110755".pn. | US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT | AND | ON | 2006/02/13 16:52 |
| L50 | 2 | "20040138109".pn. | US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT | AND | ON | 2006/02/13 16:52 |
| L51 | 2 | "20050075279".pn. | US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT | AND | ON | 2006/02/13 16:53 |
| L52 | 2 | "20040002448".pn. | US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT | AND | ON | 2006/02/13 16:53 |
| L53 | 2 | "20030195228".pn. | US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT | AND | ON | 2006/02/13 16:54 |
| L54 | 2 | "20030181363".pn. | US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT | AND | ON | 2006/02/13 16:54 |
| L55 | 26 | I27 I28 I29 I30 I31 I33 I34 I37 I38 I39 I42 I49 I50 I51 I48 I52 I53 I54 | US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT | OR | ON | 2006/02/13 16:55 |
| S2 | 1 | wo-200059929-\$.did. | US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT | AND | ON | 2006/02/13 14:27 |
| S3 | 1 | 2000-672620.NRAN. | DERWENT | AND | ON | 2006/02/13 14:08 |
| S5 | 1 | wo-2003059929-\$.did. | US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT | AND | ON | 2006/02/13 14:29 |
| S6 | 1 | 2003-618175.NRAN. | DERWENT | AND | ON | 2006/02/13 14:28 |

| | | | | | | |
|----|---|-----------------------|---|-----|----|------------------|
| S7 | 1 | wo-2003066103-\$.did. | US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT | AND | ON | 2006/02/13 15:33 |
|----|---|-----------------------|---|-----|----|------------------|